What is claimed is:

## 1. A compound of formula

$$R^{32}$$
 $R^{33}$ 
 $R^{34}$ 
 $R^{35}$ 
 $R^{35}$ 
 $R^{36}$ 
 $R^{36}$ 

wherein W³ and X³ are independently selected from the group consisting of -CR¹R², -O-, -NR³, -S-, and -Se; Y³ is selected from the group consisting of -(CH₂)a-CONH-Bm, -CH₂-(CH₂OCH₂)b-CH₂-CONH-Bm,

- 5  $-(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm,
  - $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Bm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Bm$ ,
  - -(CH<sub>2</sub>)<sub>a</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm,
  - -(CH<sub>2</sub>)<sub>a</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm,
  - $-\mathsf{CH}_2 (\mathsf{CH}_2 \mathsf{OCH}_2)_{\mathsf{b}} \mathsf{CH}_2 \mathsf{N}(\mathsf{R}^3) (\mathsf{CH}_2)_{\mathsf{a}} \mathsf{CONH} \mathsf{Bm},$
- 10  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Bm$ ,
  - $-\mathsf{CH}_2 (\mathsf{CH}_2 \mathsf{OCH}_2)_b \mathsf{CH}_2 \mathsf{N}(\mathsf{R}^3) \mathsf{CH}_2 (\mathsf{CH}_2 \mathsf{OCH}_2)_d \mathsf{CONH-Bm},$
  - $-CH_{2}-(CH_{2}OCH_{2})_{b}-CH_{2}-N(R^{3})-CH_{2}-(CH_{2}OCH_{2})_{d}-NHCO-Bm, -(CH_{2})_{a}-NR^{3}R^{4},\\$
  - and  $-CH_2(CH_2OCH_2)_b-CH_2NR^3R^4$ ;  $Z^3$  is selected from the group consisting
  - of  $-(CH_2)_a$ -CONH-Dm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm,  $-(CH_2)_a$ -NHCO-Dm,
- 15  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,

 $(CH_2)_a - N(R^3) - (CH_2)_c - NHCO - Dm, - (CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - CONH-1$ Dm,  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - NHCO - Dm, -CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b N(R^3)-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ , 5 -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-CONH-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>- $CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-NHCO-Dm$ ,  $-(CH_2)_a-NR^3R^4$ , and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> 20 are independently selected from the group consisting of -O-, -S-, -Se-, -P-,  $-CR^1R^2$ ,  $-CR^1$ , alkyl,  $NR^3$ , and -C=0;  $A_1$ ,  $B_1$ ,  $C_1$ , and  $D_1$  may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a<sub>3</sub> and b<sub>3</sub> are independently from 0 to 5; R<sup>1</sup> to R<sup>4</sup>, and R<sup>29</sup> to R<sup>37</sup> are independently selected from the group consisting of hydrogen, 25  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{20}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH2(CH2OCH2)b-CH2-OH, -(CH2)a-CO2H,  $-(CH_2)_a$ -CONH-Bm,  $-CH_2$ -( $CH_2$ OCH<sub>2</sub>)<sub>b</sub>- $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-\mathsf{CH_2}-(\mathsf{CH_2OCH_2})_b-\mathsf{CH_2}-\mathsf{NHCO-Bm},\ -(\mathsf{CH_2})_a-\mathsf{OH}\ \ \mathsf{and}\ \ -\mathsf{CH_2}-(\mathsf{CH_2OCH_2})_b-\mathsf{CO_2H};$ 30 Bm and Dm are independently selected from the group consisting of a bioactive peptide, a protein, a cell, an antibody, an antibody fragment, a saccharide, a glycopeptide, a peptidomimetic, a drug, a drug mimic, a hormone, a metal chelating agent, a radioactive or nonradioactive metal 35 complex, and an echogenic agent; a and c are independently from 1 to 20; and b and d are independently vary from 1 to 100.

- 2. The compound of claim 1 wherein W³ and X³ are independently selected from the group consisting of  $-C(CH_3)_2$ ,  $-C((CH_2)_aOH)CH_3$ ,  $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,  $-C((CH_2)_aNH_2)CH_3$ ,  $C((CH_2)_aNH_2)_2$ ,  $C((CH_2)_aNR^3R^4)_2$ ,  $-NR^3$ , and -S-; Y³ is
- selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm,  $-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Bm, -(CH_2)_a-NHCO-Bm, -CH_2-(CH_2OCH_2)_b-CH_2-(CH_2OC$

 $CH_2$ -NHCO-Bm, - $(CH_2)_a$ -NR $^3$ R $^4$ , and - $CH_2(CH_2OCH_2)_b$ - $CH_2NR^3$ R $^4$ ; Z $^3$  is selected from the group consisting of - $(CH_2)_a$ -CONH-Dm,

 $CH_2$ -NHCO-Dm, - $(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and - $CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a

-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-

single or a double bond;  $B_1$ ,  $C_1$ , and  $D_1$  are independently selected from the group consisting of -O-, -S-,  $NR^3$ ,  $(CH2)_a$  - $CR^1R^2$ , and - $CR^1$ ;  $A_1$ ,  $B_1$ ,  $C_1$ ,

and  $D_1$  may together form a 6- to 10-membered carbocyclic ring or a 6- to

10-membered heterocyclic ring optionally containing one or more oxygen,

nitrogen, or sulfur atom;  $a_3$  and  $b_3$  are independently from 0 to 3;  $R^1$  to  $R^4$ , and  $R^{29}$  to  $R^{37}$  are independently selected from the group consisting of hydrogen,  $C_1$ - $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$ 

polyhydroxyalkyl,  $C_5$ - $C_{12}$  polyhydroxyaryl,  $C_1$ - $C_{10}$  aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-

CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of a bioactive peptide containing 2 to 30 amino acid

units, an antibody, a mono- or oligosaccharide, a glycopeptide, a metal chelating agent, a radioactive or nonradioactive metal complex, and an 25 echogenic agent; a and c are independently from 1 to 10; and b and d are independently from 1 to 30.

- The compound of claim 2 wherein each of W3 and X3 is 3.  $C((CH_2)OH)_2$ ; Y<sup>3</sup> is  $-(CH_2)_2$ -CONH-Bm; Z<sup>3</sup> is  $-(CH_2)_2$ -CONH-Dm; A<sub>1</sub> is a single bond;  $A_1$ ,  $B_1$ ,  $C_1$ , and  $D_1$  together form a 6-membered carbocyclic ring; each of  $a_3$  and  $b_3$  is 1;  $R^{29}$  is galactose; each of  $R^{30}$  to  $R^{37}$  is
- hydrogen; Bm is Octreotate; and Dm is bombesin. 5

4. A method for performing a diagnostic or therapeutic procedure comprising

administering to an individual an effective amount of the compound of formula

$$R^{32}$$
 $R^{33}$ 
 $R^{34}$ 
 $R^{35}$ 
 $R^{36}$ 
 $R^{36}$ 
 $R^{36}$ 

wherein W<sup>3</sup> and X<sup>3</sup> are independently selected from the group consisting 5 of -CR1R2, -O-, -NR3, -S-, and -Se; Y3 is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm,  $-(CH_2)_a$ - $N(R^3)$ - $(CH_2)_b$ -CONH-Bm,  $(CH_2)_a$ -N(R<sup>3</sup>)- $(CH_2)_c$ -NHCO-Bm,  $-(CH_2)_a$ -N(R<sup>3</sup>)- $CH_2$ - $(CH_2OCH_2)_b$ -10  $CH_2$ -CONH-Bm, - $(CH_2)_a$ -N(R³)- $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -NHCO-Bm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-CONH-Bm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-(CH_2OCH_2$  ${\sf N}({\sf R}^3) - ({\sf CH}_2)_a - {\sf NHCO-Bm}, \ -{\sf CH}_2 - ({\sf CH}_2{\sf OCH}_2)_b - {\sf CH}_2 - {\sf N}({\sf R}^3) - {\sf CH}_2 - ({\sf CH}_2{\sf OCH}_2)_d - {\sf CH}_2 - {\sf N}({\sf R}^3)_b - {\sf CH}_2 - {\sf CH}_2 - {\sf N}({\sf R}^3)_b - {\sf CH}_2 - {$  ${\rm CONH\text{-}Bm, -CH_2\text{-}(CH_2OCH_2)_b\text{-}CH_2\text{-}N(R^3)\text{-}CH_2\text{-}(CH_2OCH_2)_d\text{-}NHCO\text{-}Bm,}$ -(CH $_2$ ) $_a$ -NR $^3$ R $^4$ , and -CH $_2$ (CH $_2$ OCH $_2$ ) $_b$ -CH $_2$ NR $^3$ R $^4$ ; Z $^3$  is selected from the group consisting of - $(CH_2)_a$ -CONH-Dm, - $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Dm, 15 -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-N(R^3)-(CH_2)_c-NHCO-Dm$ ,

 $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm, -(CH_2)_a-N(R^3)-CH_2-$ (CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-CH_2-(CH_2OCH_2)_b-CH_2-($ 20  $N(R^3)-CH_2-(CH_2OCH_2)_d-CONH-Dm, -CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-CH_2 (CH_2OCH_2)_a$ -NHCO-Dm,  $-(CH_2)_a$ -NR<sup>3</sup>R<sup>4</sup>, and  $-CH_2(CH_2OCH_2)_b$ -CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR1R2, -CR1, alkyl, NR3, and -C = 0;  $A_1$ ,  $B_1$ ,  $C_1$ , and  $D_1$  may together form a 6- to 12-membered 25 carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_3$  and  $b_3$  are independently from 0 to 5; R<sup>1</sup> to R<sup>4</sup>, and R<sup>29</sup> to R<sup>37</sup> are independently selected from the group consisting of hydrogen, C1-C10 alkyl, C5-C20 aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$  polyhydroxyalkyl,  $C_5$ - $C_{20}$ 30 polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H,  $-(CH_2)_a$ -CONH-Bm,  $-CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ -CONH-Bm,  $-(CH_2)_a$ -NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of a 35 bioactive peptide, a protein, a cell, an antibody, an antibody fragment, a saccharide, a glycopeptide, a peptidomimetic, a drug, a drug mimic, a hormone, a metal chelating agent, a radioactive or nonradioactive metal complex, and an echogenic agent; a and c are independently from 1 to

20; and b and d are independently from 1 to 100, and a pharmaceuticallyacceptable carrier or excipient to form a composition,

activating the compound using light, and performing the diagnostic or therapeutic procedure.

- 5. The method of claim 4 comprising administering to an individual an effective amount of the compound wherein  $W^3$  and  $X^3$  are independently selected from the group consisting of  $-C(CH_3)_2$ ,  $-C((CH_2)_aOH)CH_3$ ,  $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,
- -C((CH<sub>2</sub>)<sub>a</sub>NH<sub>2</sub>)CH<sub>3</sub>, C((CH<sub>2</sub>)<sub>a</sub>NH<sub>2</sub>)<sub>2</sub>, C((CH<sub>2</sub>)<sub>a</sub>NR<sup>3</sup>R<sup>4</sup>)<sub>2</sub>, -NR<sup>3</sup>, and -S-; Y<sup>3</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>3</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-C
- CONH-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> are independently selected from the group consisting of -O-, -S-, NR<sup>3</sup>, (CH2)<sub>a</sub> -CR<sup>1</sup>R<sup>2</sup>, and -CR<sup>1</sup>; A<sub>1</sub>, B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered
- heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom;  $a_3$  and  $b_3$  independently vary from 0 to 3;  $R^1$  to  $R^4$ , and  $R^{29}$  to  $R^{37}$  are independently selected from the group consisting of hydrogen,  $C_1$   $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyhydroxyalkyl,  $C_5$ - $C_{12}$

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polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of a bioactive peptide containing 2 to 30 amino acid units, an antibody, a mono- or oligosaccharide, a glycopeptide, a metal chelating agent, a radioactive or nonradioactive metal complex, and an echogenic agent; a and c are independently from 1 to 10; and b and d are independently from 1 to 30.

- 6. The method of claim 5 comprising administering to an individual an effective amount of the compound wherein each of W³ and X³ is  $C((CH_2)OH)_2$ ; Y³ is  $-(CH_2)_2$ -CONH-Bm; Z³ is  $-(CH_2)_2$ -CONH-Dm; A₁ is a single bond; A₁, B₁, C₁, and D₁ together form a 6-membered carbocyclic ring; each a₃ and b₃ is 1; R²9 is galactose; each R³0 to R³7 is hydrogen; Bm is Octreotate; and Dm is bombesin (7-14).
  - 7. The method of claim 4 wherein said procedure uses light of wavelength in the region of 350-1300 nm.
  - 8. The method of claim 4 wherein the diagnostic procedure is optical tomography.

- The method of claim 4 wherein the diagnostic procedure is fluorescence endoscopy.
- 10. The method of claim 4 further comprising monitoring a blood clearance profile of said compound by a method selected from the group consisting of fluorescence, absorbance, and light scattering, wherein light of wavelength in the region of 350-1300 nm is used.
- 11. The method of claim 4 wherein said procedure further comprises imaging and therapy, wherein said imaging and therapy is selected from the group consisting of absorption, light scattering, photoacoustic and sonofluoresence technique.
- 12. The method of claim 4 wherein said procedure is capable of diagnosing atherosclerotic plaques and blood clots.
- 13. The method of claim 4 wherein said procedure comprises administering localized therapy.
- 14. The method of claim 4 wherein said therapeutic procedure comprises photodynamic therapy.

- 15. The method of claim 4 wherein said therapeutic procedure comprises laser assisted guided surgery for the detection of micrometastases.
- 16. The method of claim 4 further comprising adding a biocompatible organic solvent to the at a concentration of one to fifty percent to the composition to prevent *in vivo* or *in vitro* fluorescence quenching.
- 17. The method of claim 16 wherein said compound is dissolved in a medium comprising one to fifty percent dimethyl sulfoxide.

18. A composition comprising a cyanine dye bioconjugate of formula

$$R^{32}$$
 $R^{33}$ 
 $R^{34}$ 
 $R^{35}$ 
 $R^{35}$ 
 $R^{36}$ 
 $R^{30}$ 
 $R^{30}$ 

wherein W<sup>3</sup> and X<sup>3</sup> are independently selected from the group consisting of -CR1R2, -O-, -NR3, -S-, and -Se; Y3 is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-5 NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-N(R<sup>3</sup>)-(CH<sub>2</sub>)<sub>b</sub>-CONH-Bm,  $(CH_2)_a - N(R^3) - (CH_2)_c - NHCO - Bm$ ,  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b - (CH_2OCH_$ CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -CH<sub>2</sub>- $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ - $(CH_2)_a$ -CONH-Bm, - $CH_2$ - $(CH_2OCH_2)_b$ - $CH_2$ - $N(R^3)$ -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-CONH-Bm,10 -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>3</sup> is selected from the group consisting of  $-(CH_2)_a$ -CONH-Dm,  $-CH_2-(CH_2OCH_2)_b$ -CH<sub>2</sub>-CONH-Dm,  $-(CH_2)_a$ -NHCO-Dm,  $-CH_2-(CH_2OCH_2)_b-CH_2-NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-(CH_2)_a-(CH_2)_b-CONH-Dm$ ,  $(CH_2)_a-(CH_2)_a-(CH_2)_b-(CH_2)_b-(CH_2)_b-(CH_2)_a-(CH_2)_$  $N(R^3)-(CH_2)_c-NHCO-Dm$ ,  $-(CH_2)_a-N(R^3)-CH_2-(CH_2OCH_2)_b-CH_2-CONH-Dm$ , 15  $-(CH_2)_a - N(R^3) - CH_2 - (CH_2OCH_2)_b - CH_2 - NHCO-Dm, -CH_2 - (CH_2OCH_2)_b - CH_2 - (CH_2OCH_2)_b -$  $N(R^3)-(CH_2)_a-CONH-Dm$ ,  $-CH_2-(CH_2OCH_2)_b-CH_2-N(R^3)-(CH_2)_a-NHCO-Dm$ ,

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-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-N(R<sup>3</sup>)-CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>d</sub>-CONH-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>- $CH_2-N(R^3)-CH_2-(CH_2OCH_2)_d-NHCO-Dm$ ,  $-(CH_2)_a-NR^3R^4$ , and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> are independently selected from the group consisting of -O-, -S-, -Se-, -P-, -CR<sup>1</sup>R<sup>2</sup>, -CR<sup>1</sup>, alkyl, NR<sup>3</sup>, and -C = O; A<sub>1</sub>, B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> may together form a 6- to 12-membered carbocyclic ring or a 6- to 12-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or sulfur atom; a<sub>3</sub> and b<sub>3</sub> are independently from 0 to 5; R<sup>1</sup> to R<sup>4</sup>, and R<sup>29</sup> to R<sup>37</sup> are independently selected from the group consisting of hydrogen, C<sub>1</sub>- $C_{10}$  alkyl,  $C_5$ - $C_{20}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyalkoxyalkyl,  $C_1$ - $C_{20}$ polyhydroxyalkyl, C<sub>5</sub>-C<sub>20</sub> polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, cyano, nitro, halogen, saccharide, peptide, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of a bioactive peptide, a protein, a cell, an antibody, an antibody fragment, a saccharide, a glycopeptide, a peptidomimetic, a drug, a drug mimic, a hormone, a metal chelating agent, a radioactive or nonradioactive metal complex, and an echogenic agent; a and c are independently from 1 to 20; and b and d are independently vary from 1 to 100, and a pharmaceutically acceptable carrier or excipient.

The composition of claim 18 wherein W<sup>3</sup> and X<sup>3</sup> are independently 19. selected from the group consisting of -C(CH<sub>3</sub>)<sub>2</sub>, -C((CH<sub>2</sub>)<sub>a</sub>OH)CH<sub>3</sub>,  $-C((CH_2)_aOH)_2$ ,  $-C((CH_2)_aCO_2H)CH_3$ ,  $-C((CH_2)_aCO_2H)_2$ ,  $-C((CH_2)_aNH_2)CH_3$ ,  $C((CH_2)_aNH_2)_2$ ,  $C((CH_2)_aNR^3R^4)_2$ ,  $-NR^3$ , and -S-;  $Y^3$  is 5 selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; Z<sup>3</sup> is selected from the group consisting of -(CH<sub>2</sub>)<sub>a</sub>-CONH-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Dm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Dm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Dm, -(CH<sub>2</sub>)<sub>a</sub>-10 NR<sup>3</sup>R<sup>4</sup>, and -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>NR<sup>3</sup>R<sup>4</sup>; A<sub>1</sub> is a single or a double bond; B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> are independently selected from the group consisting of -O-, -S-, NR<sup>3</sup>, (CH2)<sub>a</sub> -CR<sup>1</sup>R<sup>2</sup>, and -CR<sup>1</sup>; A<sub>1</sub>, B<sub>1</sub>, C<sub>1</sub>, and D<sub>1</sub> may together form a 6- to 10-membered carbocyclic ring or a 6- to 10-membered heterocyclic ring optionally containing one or more oxygen, nitrogen, or 15 sulfur atom; a<sub>3</sub> and b<sub>3</sub> are independently from 0 to 3; R<sup>1</sup> to R<sup>4</sup>, and R<sup>29</sup> to R<sup>37</sup> are independently selected from the group consisting of hydrogen, C<sub>1</sub>- $C_{10}$  alkyl,  $C_5$ - $C_{12}$  aryl,  $C_1$ - $C_{10}$  alkoxyl,  $C_1$ - $C_{10}$  polyhydroxyalkyl,  $C_5$ - $C_{12}$ polyhydroxyaryl, C<sub>1</sub>-C<sub>10</sub> aminoalkyl, mono- or oligosaccharide, peptide with 2 to 30 amino acid units, -CH<sub>2</sub>(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-OH, -(CH<sub>2</sub>)<sub>a</sub>-CO<sub>2</sub>H, 20 -(CH<sub>2</sub>)<sub>a</sub>-CONH-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-CONH-Bm, -(CH<sub>2</sub>)<sub>a</sub>-NHCO-Bm, -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CH<sub>2</sub>-NHCO-Bm, -(CH<sub>2</sub>)<sub>a</sub>-OH and -CH<sub>2</sub>-(CH<sub>2</sub>OCH<sub>2</sub>)<sub>b</sub>-CO<sub>2</sub>H; Bm and Dm are independently selected from the group consisting of a

bioactive peptide containing 2 to 30 amino acid units, an antibody, a

mono- or oligosaccharide, a glycopeptide, a metal chelating agent, a

radioactive or nonradioactive metal complex, and an echogenic agent; a

and c are independently from 1 to 10; and b and d are independently from

1 to 30.

20. The composition of claim 19 wherein each of W³ and X³ is  $C((CH_2)OH)_2$ ; Y³ is  $-(CH_2)_2$ -CONH-Bm; Z³ is  $-(CH_2)_2$ -CONH-Dm; A₁ is a single bond; A₁, B₁, C₁, and D₁ together form a 6-membered carbocyclic ring; each of a₃ and b₃ is 1; R²9 is galactose; each of R³0 to R³7 is hydrogen; Bm is Octreotate; and Dm is bombesin (7-14).